

WEST Search History

DATE: Sunday, February 20, 2005

Hide?	<u>Set Name</u>	<u>Query</u>	<u>Hit Count</u>
		<i>DB=PGPB,USPT; PLUR=YES; OP=OR</i>	
<input type="checkbox"/>	L22	N adj carboxymethyl adj amino adj acid same library	0
<input type="checkbox"/>	L21	1 adj 2 adj amino adj alcohol same library	0
<input type="checkbox"/>	L20	1 adj 2 adj diamine same library	1
<input type="checkbox"/>	L19	1 adj 2 adj diamine with library	1
		<i>DB=USPT; PLUR=YES; OP=OR</i>	
<input type="checkbox"/>	L18	5481020.pn.	1
<input type="checkbox"/>	L17	5734054.pn.	1
<input type="checkbox"/>	L16	5766963.pn.	1
<input type="checkbox"/>	L15	((synthetic or unnatural) with (amino adj acid)) same library same monomer	31
<input type="checkbox"/>	L14	((synthetic or unnatural) with (amino adj acid)) same library	939
<input type="checkbox"/>	L13	amino same monomer same library and L12	1
<input type="checkbox"/>	L12	5639603.pn.	1
<input type="checkbox"/>	L11	l10 and l5	10
<input type="checkbox"/>	L10	(synthetic with (amino adj acid)) same library	888
<input type="checkbox"/>	L9	library and L8	6584
<input type="checkbox"/>	L8	synthetic with amino adj acid	13301
<input type="checkbox"/>	L7	synthetic and l2	5197
<input type="checkbox"/>	L6	l4 and L5	3
<input type="checkbox"/>	L5	(amino adj acid).ti.	2225
<input type="checkbox"/>	L4	(amino adj acid) and library.ti.	343
<input type="checkbox"/>	L3	(amino adj acid) with library	5703
		<i>DB=PGPB,USPT; PLUR=YES; OP=OR</i>	
<input type="checkbox"/>	L2	(amino adj acid) with library	13144
<input type="checkbox"/>	L1	amino adj acid same library	25306

END OF SEARCH HISTORY

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 8 DEC 15 MEDLINE update schedule for December 2004
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alerts (SDIs) affected
NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS 14 DEC 30 EPFULL: New patent full text database to be available on STN
NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED
NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and
February 2005
NEWS 17 JAN 26 CA/CAPLUS - Expanded patent coverage to include the Russian
Agency for Patents and Trademarks (ROSPATENT)
NEWS 18 FEB 10 STN Patent Forums to be held in March 2005
NEWS 19 FEB 16 STN User Update to be held in conjunction with the 229th ACS
National Meeting on March 13, 2005

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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=> fil medline biosis caplus embase wpids
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.84	0.84

FULL ESTIMATED COST

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=> 1 (w) 2 (w) diamine (s) library
L1 2 1 (W) 2 (W) DIAMINE (S) LIBRARY

=> dup rem l1
PROCESSING COMPLETED FOR L1
L2 2 DUP REM L1 (0 DUPLICATES REMOVED)

=> d ibib abs l2 1-2

L2 ANSWER 1 OF 2 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN

ACCESSION NUMBER: 2001399680 EMBASE
TITLE: Immobilization of difunctional building blocks on
hydroxysuccinimide activated silica: Versatile in situ
preparation of chiral stationary phases.
AUTHOR: Kosjek B.; Uray G.
CORPORATE SOURCE: Dr. G. Uray, Karl-Franzens Universitat, Institut fur
Chemie, Bereich Organ. und Bioorg. Chemie, Heinrichstrasse
28, 8010 Graz, Austria. uray@kfunigraz.ac.at
SOURCE: Chirality, (2001) 13/10 (657-667).
Refs: 16
ISSN: 0899-0042 CODEN: CHRLEP
COUNTRY: United States
DOCUMENT TYPE: Journal; Conference Article
FILE SEGMENT: 029 Clinical Biochemistry
LANGUAGE: English
SUMMARY LANGUAGE: English

AB Several brush-type chiral stationary phases (CSPs) based on undecanoyl- or
butanoyl-bound (R,R)-1,2-diphenylethane-1,2-
diamine (DPEDA) as chiral selector were prepared by an innovative,
fast, and less expensive kind of preparation. The key to this method is
the immobilization of the enantiomeric pure diamine with only one amino
function in a simple substitution reaction on hydroxysuccinimide
ester-activated silica. No excess chiral material is lost. Loading can be
easily monitored analyzing the filtrate. The free second amino function
can subsequently be acylated with different acyl halogenides. Examples

with benzoyl- and 3,5-dinitrobenzoyl (DNB) amides show that, based on our new approach, a **library** of differently acylated Pirkle-type CSPs can easily be obtained. A benzoylated analog of the commercially available ULMO CSP is shown to be very effective in separating enantiomers of N-acyl amino acids. .COPYRGT. 2001 Wiley-Liss, Inc.

L2 ANSWER 2 OF 2 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN

ACCESSION NUMBER: 96352555 EMBASE
DOCUMENT NUMBER: 1996352555
TITLE: Macrocyclic triamines as linkers in two-armed receptors for peptides.
AUTHOR: Iorio E.J.; Still W.C.
CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY 10027, United States
SOURCE: Bioorganic and Medicinal Chemistry Letters, (1996) 6/22 (2673-2676).
ISSN: 0960-894X CODEN: BMCLE8
PUBLISHER IDENT.: S 0960-894X(96)00500-8
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 029 Clinical Biochemistry
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English

AB Commercially available triazamacrocycles have been substituted with trimesic acid/**1,2-diamine** cyclooligomers to create a new class of sequence-selective receptors for peptides. Screening of these compounds against a 3375-member **library** of N-acetyl tripeptides revealed novel peptide-binding properties.

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	32.26	33.10

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 18, 2005 (20050218/UP).

=> fil medline biosis caplus embase wpids

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.24	33.34

FILE 'MEDLINE' ENTERED AT 18:21:48 ON 20 FEB 2005

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=> 1 (w) 2 (w) amino (w) alcohol (s) library
L3 0 1 (W) 2 (W) AMINO (W) ALCOHOL (S) LIBRARY

=> (1 (w) 2 (w) diamine) and library
L4 15 (1 (W) 2 (W) DIAMINE) AND LIBRARY

=> dup rem l4
PROCESSING COMPLETED FOR L4
L5 11 DUP REM L4 (4 DUPLICATES REMOVED)

=> t ti l5 1-11

L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
TI Ethylene diamines as anti tubercular drugs: compositions and methods

L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
TI Ethylene diamines as anti tubercular drugs: compositions and methods

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
TI Peptidomimetic modulators of cell adhesion

L5 ANSWER 4 OF 11 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Labeling a target protein for use in identifying drug activity, comprises contacting a fusion protein with a biotin analog to allow binding, through an acceptor peptide, in the presence of a biotin ligase mutant.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
TI Preparation of benzofused heteroaryl amide derivatives of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders

L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
TI Preparation of thiazolylamino benzamide derivatives as modulators of cell proliferation and inhibitors of protein kinases

L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
TI Combinatorial Lead Optimization of [1,2]-Diamines Based on Ethambutol as Potential Antituberculosis Preclinical Candidates

L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
TI Peptidomimetic modulators of cell adhesion

L5 ANSWER 9 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN
TI New scaffolds for combinatorial synthesis. 1. 5-Sulfamoylisatins and their reactions with 1,2-diamines.

L5 ANSWER 10 OF 11 MEDLINE on STN DUPLICATE 1
TI Immobilization of difunctional building blocks on hydroxysuccinimide activated silica: versatile in situ preparation of chiral stationary phases.

L5 ANSWER 11 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN DUPLICATE 2
TI Macrocyclic triamines as linkers in two-armed receptors for peptides.

=> d ibib abs l5 7

L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:49639 CAPLUS

DOCUMENT NUMBER: 138:247935

TITLE: Combinatorial Lead Optimization of [1,2]-Diamines
Based on Ethambutol as Potential Antituberculosis
Preclinical Candidates

AUTHOR(S): Lee, Richard E.; Protopopova, Marina; Crooks, Emma;
Slayden, Richard A.; Terrot, Marianne; Barry, Clifton
E., III

CORPORATE SOURCE: Tuberculosis Research Section, NIAID, National
Institutes of Health, Rockville, MD, 20850, USA

SOURCE: Journal of Combinatorial Chemistry (2003), 5(2),
172-187

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:247935

AB Despite relatively modest potency, ethambutol (EMB, (S,S)-[N,N-di-2-amino-1-butanol]ethylenediamine) is a mainstay of contemporary chemotherapy for the treatment of tuberculosis. We have developed a solid-phase synthesis of **1,2-diamine** analogs of EMB using a novel acylation-reduction sequence that is compatible with high-throughput 96-well format chemical. Using this procedure, we have synthesized 63,238 diamine analogs in pools of 10 that are suitable for testing. MIC and a target-based reporter assay were used to direct deconvolution of 2796 individual compds. from these mixts., and the 69 most potent mols. were resynthesized in milligram quantities for hit confirmation. Purification of these individual active diamine analogs allowed the identification of 26 compds. with activity equal to or greater than EMB. Amines which occurred most frequently in active compds. included many with large hydrophobic moieties, suggesting that optimization was perhaps selecting for the isoprenoid binding site of the arabinosyltransferase target of EMB.
N-Geranyl-N'-(2-adamantyl)ethane-1,2-diamine
, the most active of these diamines, displayed a 14-35-fold improvement in activity in vitro against Mycobacterium tuberculosis, as compared to EMB.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs 15 8

L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:869496 CAPLUS

DOCUMENT NUMBER: 137:363033

TITLE: Peptidomimetic modulators of cell adhesion

INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni,
Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang,
Shoameng; Hu, Zenjian

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S.
Ser. No. 491,078.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 15

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168761	A1	20021114	US 2001-769145	20010124
US 2004058864	A1	20040325	US 2003-412701	20030410

US 2004006011	A1	20040108	US 2003-425557	20030428
PRIORITY APPLN. INFO.:			US 2000-491078	A2 20000124
			US 1996-21612P	P 19960712
			US 1997-893534	A1 19970711
			US 2000-507102	A1 20000217
			US 2001-769145	B1 20010124
			US 2001-6982	A2 20011204

OTHER SOURCE(S): MARPAT 137:363033

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

=> d ibib abs 15 9-11

L5 ANSWER 9 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN

ACCESSION NUMBER: 2002:582586 BIOSIS

DOCUMENT NUMBER: PREV200200582586

TITLE: New scaffolds for combinatorial synthesis. 1.

AUTHOR(S): Ivachtchenko, Alexandre V. [Reprint author]; Il'yin, Alexey P.; Kobak, Vladimir V.; Zolotarev, Denis A.; Boksha, Larisa V.; Trifilenkov, Andrey S.; Ugoleva, Dina M.

CORPORATE SOURCE: Chemical Diversity Labs, Inc., 11575 Sorrento Valley Road, Suite 211, San Diego, CA, 92121, USA

SOURCE: Journal of Combinatorial Chemistry, (September-October, 2002) Vol. 4, No. 5, pp. 419-428. print.
ISSN: 1520-4766.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 13 Nov 2002

Last Updated on STN: 30 Dec 2002

AB 3,3-Dichloro-5-(4-methylpiperidinosulfonyl)-2-indolinone (3) and 5-sulfamoylisatins 4 have been synthesized from 5-chlorosulfonyl-3,3-dichloro-2-indolinone (1). Compounds 4 are promising scaffolds for the solid-and liquid-phase syntheses of new combinatorial libraries of various heterocycles. Thus, the reactions of 4 with 1,2-diamines, such as o-phenylenediamine (5) and aminoguanidine hydrochloride (6), 1,2-diaminoimidazoles. (9), and thiosemicarbazide led, respectively, to new heterocycles 7 and 8 and new combinatorial libraries of triazinoindoles 10 and 15. Chemsets 4, 10, and 15 were isolated as crystalline solids that were purified by recrystallization from a suitable solvent and characterized by spectroscopic methods.

L5 ANSWER 10 OF 11 MEDLINE on STN DUPLICATE 1

ACCESSION NUMBER: 2001695976 MEDLINE

DOCUMENT NUMBER: PubMed ID: 11746798

TITLE: Immobilization of difunctional building blocks on hydroxysuccinimide activated silica: versatile in situ preparation of chiral stationary phases.

AUTHOR: Kosjek B; Uray G

CORPORATE SOURCE: Institut fur Chemie, Karl-Franzens Universitat Graz, 8010 Graz, Austria.

SOURCE: Chirality, (2001) 13 (10) 657-67.
Journal code: 8914261. ISSN: 0899-0042.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English
FILE SEGMENT: PUBMED-NOT-MEDLINE
ENTRY MONTH: 200203
ENTRY DATE: Entered STN: 20011218
Last Updated on STN: 20020324
Entered Medline: 20020322

AB Several brush-type chiral stationary phases (CSPs) based on undecanoyl- or butanoyl-bound (R,R)-1,2-diphenylethane-1,2-diamine (DPEDA) as chiral selector were prepared by an innovative, fast, and less expensive kind of preparation. The key to this method is the immobilization of the enantiomeric pure diamine with only one amino function in a simple substitution reaction on hydroxysuccinimide ester-activated silica. No excess chiral material is lost. Loading can be easily monitored analyzing the filtrate. The free second amino function can subsequently be acylated with different acyl halogenides. Examples with benzoyl- and 3,5-dinitrobenzoyl (DNB) amides show that, based on our new approach, a **library** of differently acylated Pirkle-type CSPs can easily be obtained. A benzoylated analog of the commercially available ULMO CSP is shown to be very effective in separating enantiomers of N-acyl amino acids.
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L5 ANSWER 11 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on
STN DUPLICATE 2

ACCESSION NUMBER: 1997:13288 BIOSIS
DOCUMENT NUMBER: PREV199799312491
TITLE: Macrocyclic triamines as linkers in two-armed receptors for peptides.
AUTHOR(S): Iorio, Edward James; Still, W. Clark [Reprint author]
CORPORATE SOURCE: Dep. Chem., Columbia Univ., New York, NY 10027, USA
SOURCE: Bioorganic and Medicinal Chemistry Letters, (1996) Vol. 6, No. 22, pp. 2673-2676.
CODEN: BMCLE8. ISSN: 0960-894X.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 15 Jan 1997
Last Updated on STN: 11 Feb 1997

AB Commercially available triazamacrocycles have been substituted with trimesic acid/1,2-diamine cyclooligomers to create a new class of sequence-selective receptors for peptides. Screening of these compounds against a 3375-member **library** of N-acetyl tripeptides revealed novel peptide-binding properties.

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FULL ESTIMATED COST	63.97	97.31
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CA SUBSCRIBER PRICE	-1.46	-1.46

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 18, 2005 (20050218/UP).

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FULL ESTIMATED COST	0.12	97.43
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.46

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FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE, WPIDS' ENTERED AT 18:16:56 ON 20 FEB 2005

L1 2 1 (W) 2 (W) DIAMINE (S) LIBRARY
L2 2 DUP REM L1 (0 DUPLICATES REMOVED)

FILE 'STNGUIDE' ENTERED AT 18:19:37 ON 20 FEB 2005

FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE, WPIDS' ENTERED AT 18:21:48 ON 20 FEB 2005

L3 0 1 (W) 2 (W) AMINO (W) ALCOHOL (S) LIBRARY
L4 15 (1 (W) 2 (W) DIAMINE) AND LIBRARY
L5 11 DUP REM L4 (4 DUPLICATES REMOVED)

FILE 'STNGUIDE' ENTERED AT 18:27:51 ON 20 FEB 2005

FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE, WPIDS' ENTERED AT 18:29:14 ON 20 FEB 2005

=> (1 (w) 2 (w) amino (w) alcohol) and library
L6 0 (1 (W) 2 (W) AMINO (W) ALCOHOL) AND LIBRARY

=> alpha (w) amino (w) acid and library
L7 120 ALPHA (W) AMINO (W) ACID AND LIBRARY

=> py>1996
<-----User Break----->

SEARCH ENDED BY USER

=> py>1996 and l7
L8 95 PY>1996 AND L7

=> l7 not l8
L9 25 L7 NOT L8

=> dup rem l9
PROCESSING COMPLETED FOR L9
L10 20 DUP REM L9 (5 DUPLICATES REMOVED)

=> t ti l10 1-20

L10 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Combinatorial Approach to the Discovery of Novel Coordination Complexes

L10 ANSWER 2 OF 20 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on
STN DUPLICATE 1
TI A novel 55-kDa regulatory subunit for phosphatidylinositol 3-kinase
structurally similar to p55PIK is generated by alternative splicing of the
p85-alpha gene.

L10 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Characterization and cloning of the E11 antigen, a marker expressed by rat
osteoblasts and osteocytes

L10 ANSWER 4 OF 20 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on
STN
TI Reductive alkylation on a solid phase: Synthesis of a piperazinedione
combinatorial **library**.

L10 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Simultaneous Solid-Phase Synthesis of β -Turn Mimetics Incorporating
Side-Chain Functionality

L10 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Spatially restricted expression of set mRNA in developing rat kidney

L10 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI A gene-sized DNA molecule encoding the catalytic subunit of DNA polymerase
 α in the macronucleus of *Oxytricha nova*

L10 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Molecular cloning and structural analysis of canine gastric hydrogen
ion-potassium ATPase

L10 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Nucleotide sequence of the cDNA encoding silk gland elongation factor
1 α

L10 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Nucleotide sequence of rat elongation factor-1 α cDNA

L10 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Sequence of a cDNA encoding the α -subunit of wheat translation
elongation factor 1

L10 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Identification of Tetrahymena 14-nm filament-associated protein as
elongation factor 1 α

L10 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI Molecular cloning of feline interferon cDNA by direct expression

L10 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
TI A cDNA encoding an α -tubulin from *Schistosoma mansoni*

L10 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

TI Primary structure of guinea pig Hageman factor: sequence around the cleavage site differs from the human molecule

L10 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

TI Molecular cloning, expression and characterization of ovine TNF α

L10 ANSWER 17 OF 20 MEDLINE on STN DUPLICATE 2

TI Isolation, characterization, and chromosomal mapping of mouse P450 17 alpha-hydroxylase/C17-20 lyase.

L10 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

TI Cloning, cDNA analysis and prolactin-dependent expression of a marsupial α -lactalbumin

L10 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

TI Human serum amyloid A. Three hepatic mRNAs and the corresponding proteins in one person

L10 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

TI A direct cloning-expression system for neutralization antigens of herpes simplex viruses

=> d ibib abs l10 1,4

L10 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:544189 CAPLUS

DOCUMENT NUMBER: 125:236878

TITLE: Combinatorial Approach to the Discovery of Novel Coordination Complexes

AUTHOR(S): Francis, Matthew B.; Finney, Nathaniel S.; Jacobsen, Eric N.

CORPORATE SOURCE: Department of Chemistry, Harvard University, Cambridge, MA, 02138, USA

SOURCE: Journal of the American Chemical Society (1996), 118(37), 8983-8984

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Metal complexes are reported as formed using a **library** from combinatorial chemical. The **library** was prepared on poly(ethylene glycol)-grafted polystyrene so that each polymer bead displayed a unique ligand structure. The **library** theor. consisted of 12,000 different ligands. It comprises 4 variable components: 2 amino acids linked by a "turn element" and terminated by various capping reagents. The turn elements employed were cyclic 1,2-amino alcs. or **.alpha** **.-amino acid** derivs. Metals used were Ni, Fe, Cu, Pt, Sn, and Pd. With Ni, 4 different ligands were found each bearing L-His(Trt) in both amino acid positions; only 2 turn elements, acetyl and 1-naphthylenyl chlorides, were found. Extent of binding is reported for the other metals with some general observations regarding selectivity of amino acids.

L10 ANSWER 4 OF 20 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN

ACCESSION NUMBER: 1995:119007 BIOSIS

DOCUMENT NUMBER: PREV199598133307

TITLE: Reductive alkylation on a solid phase: Synthesis of a piperazinedione combinatorial **library**.

AUTHOR(S): Gordon, David W.; Steele, John [Reprint author]

CORPORATE SOURCE: Discovery Chem. Dep., Pfizer Central Res., Sandwich, Kent

SOURCE: CT13 9NJ, UK
Bioorganic and Medicinal Chemistry Letters, (1995) Vol. 5,
No. 1, pp. 47-50.
CODEN: BMCLE8. ISSN: 0960-894X.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 29 Mar 1995
Last Updated on STN: 23 May 1995

AB The synthesis of a prototype trisubstituted piperazinedione combinatorial **library** of 1,000 compounds has been achieved from three precursor sets - two sets of ten alpha-amino acids and one set of ten aldehydes. A sodium triacetoxyborohydride-mediated reductive alkylation was crucial to the success of the multi-step synthesis on resin. This protocol represents a new method to augment compound files rapidly with novel heterocyclic entities for high-speed screening.

=> (N (w) carboxymethyl (w) amino (w) acid) and library
L11 0 (N (W) CARBOXYMETHYL (W) AMINO (W) ACID) AND LIBRARY

=> (N (w) carboxymethyl (w) amino) and library
L12 0 (N (W) CARBOXYMETHYL (W) AMINO) AND LIBRARY

=> d ibib abs l10 2

L10 ANSWER 2 OF 20 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on
STN DUPLICATE 1

ACCESSION NUMBER: 1996:155178 BIOSIS

DOCUMENT NUMBER: PREV199698727313

TITLE: A novel 55-kDa regulatory subunit for phosphatidylinositol 3-kinase structurally similar to p55PIK is generated by alternative splicing of the p85-alpha gene.

AUTHOR(S): Inukai, Kouichi; Anai, Motonobu; Van Breda, Eric; Hosaka, Toshio; Katagiri, Hideki; Funaki, Makoto; Fukushima, Yasushi; Ogihara, Takehide; Yazaki, Yoshio; Kikuchi, Masatoshi; Oka, Yoshitomo; Asano, Tomoichiro [Reprint author]

CORPORATE SOURCE: Third Dep. Intern. Medicine, Fac. Medicine, Univ. Tokyo, 7-3-1 Hongo, Bunkyo-ku, Tokyo 113, Japan

SOURCE: Journal of Biological Chemistry, (1996) Vol. 271, No. 10, pp. 5317-5320.

CODEN: JBCHA3. ISSN: 0021-9258.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 11 Apr 1996

Last Updated on STN: 10 Jun 1997

AB Phosphatidylinositol 3-kinase, which is composed of a 110-kDa catalytic subunit and a regulatory subunit, plays important roles in various cellular signaling mechanisms. We screened a rat brain cDNA expression **library** with 32P-labeled human IRS-1 protein and cloned cDNAs that were very likely to be generated by alternative splicing of p85-alpha gene products. These cDNAs were demonstrated to encode a 55-kDa protein (p55-alpha) containing two SH2 domains and an inter-SH2 domain of p85-alpha but neither a bcr domain nor a SH3 homology domain. Interestingly, p55-alpha contains a unique 34-amino acid sequence at its NH-2 terminus, which is not included in the p85-alpha **amino acid** sequence. This 34-amino acid portion was revealed to be comparable with p55PIK (p55-gamma) in length, with a high homology between the two, suggesting that these NH-2-terminal domains of p55-alpha and p55-gamma may have a specific role that p85 does not. The expression of p55-alpha mRNA is most abundant in the brain, but expression is ubiquitous in most rat tissues. Furthermore, it should be noted that

the expression of p85-alpha mRNA in muscle is almost undetectably low by Northern blotting with a cDNA probe coding for the p85-alpha SH3 domain, while the expression of p55-alpha can be readily detected. These results suggest that p55-alpha may play an unique regulatory role for phosphatidylinositol 3-kinase in brain and muscle.

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	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-2.19

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E3	0 -->	CUERVO/AU
E4	5	CUERVO A/AU
E5	4	CUERVO A A/AU
E6	1	CUERVO A CARABOT/AU
E7	57	CUERVO A M/AU
E8	1	CUERVO A S/AU
E9	3	CUERVO ALFREDO CARABOT/AU
E10	1	CUERVO ANA M/AU
E11	58	CUERVO ANA MARIA/AU
E12	4	CUERVO ANDRES M/AU

=> diamine and cuervo/au
L13 0 DIAMINE AND CUERVO/AU

=> diamine
L14 84283 DIAMINE

=> diamine and cuervo/au
L15 0 DIAMINE AND CUERVO/AU

=> logoff hold		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	16.45	245.67
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-2.19

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=> amino (w) acid

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=>

=> amino (w) acid

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=> fil medline biosis caplus embase wpids
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SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

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=> amino (w) acid

3 FILES SEARCHED...

L1 1552191 AMINO (W) ACID

=> monomer and l1

L2 13465 MONOMER AND L1

=> combinatorial (w) library and l2

L3 0 COMBINATORIAL (W) LIBRARY AND L2

=> combinatorial (w) library and l2

L4 23 COMBINATORIAL (W) LIBRARY AND L2

=> py>1996 and l4

L5 22 PY>1996 AND L4

=> l4 not l5

L6 1 L4 NOT L5

=> d ibib abs l6

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:15469 CAPLUS

DOCUMENT NUMBER: 122:73101

TITLE: Hybrid Rop-pIII proteins for the display of
constrained peptides on filamentous phage capsids

AUTHOR(S): Santiago Vispo, N.; Felici, F.; Castagnoli, L.;
Cesareni, G.

CORPORATE SOURCE: Dip. Biol., Univ. Roma Tor Vergata, Rome, 00173, Italy

SOURCE: Annales de Biologie Clinique (1993), 51(10-11), 917-22

CODEN: ABCLAI; ISSN: 0003-3898

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To increase the versatility of phage display technol., it is desirable to be able to impose some structural constraints on the peptides that are presented by the phage particles. This is currently not feasible since the conformation of the capsid proteins, used to link the foreign peptide to the phage, are either unknown (pIII) or too simple (pVIII) to permit the engineering of peptide inserts into a constrained context. To reach this scope the authors have modified the amino-terminus of gene III by appending a well-characterized protein motif, the four-helix bundle of the bacterial protein Rop. Phage particles displaying Rop can be separated from wild-type (wt) particles by affinity purification with an antibody. Rop can be extensively modified by substituting its solvent-exposed residues and/or by inserting peptides either into the carboxy-terminal tail or into the bend region that connects the two α -helices of the **monomer**. These results open the possibility to construct peptide libraries where the peptides are constrained either into an Ω -loop type conformation or an α -helix. Libraries formed by peptides inserted into the carboxy-terminus can also be constructed. Furthermore, the system that the authors have developed permits to produce large quantities of the elements of the libraries in the cytoplasm or to display them on the capsid of filamentous phages.

=> dup rem l5

PROCESSING COMPLETED FOR L5

L7 19 DUP REM L5 (3 DUPLICATES REMOVED)

=> t ti l7 1-19

L7 ANSWER 1 OF 19 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on
STN DUPLICATE 1

TI Peptide nucleic acid combinatorial libraries and improved methods of
synthesis.

L7 ANSWER 2 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Composition useful for assessing presence of first target molecule such as
polypeptide in sample e.g., blood, comprises several low-to-moderate
affinity binding elements distributed on surface of, and operatively
coupled to support.

L7 ANSWER 3 OF 19 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.
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TI Development of LC-IMS-CID-TOFMS techniques: Analysis of a 256 component
tetrapeptide **combinatorial library**.

L7 ANSWER 4 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Protein comprising a variant of model C-type lectin-like domains (CTLD),
in which alpha helices, beta-strands, connecting segments are conserved to
maintain CTLD scaffold structure, while the loop region is altered.

L7 ANSWER 5 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

TI Identifying atom of common/specificity ligand mimic, proximal to interface region by identifying atom of region and atom in mimic by utilizing nuclear magnetic resonance structure oriented library valency engineering.

L7 ANSWER 6 OF 19 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. on STN

TI Rationally designed mutations convert de novo amyloid-like fibrils into monomeric β -sheet proteins.

L7 ANSWER 7 OF 19 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. on STN

TI Sugar amino acids and their uses in designing bioactive molecules.

L7 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

TI Improved preparation of peptide nucleic acid (PNA) combinatorial libraries

L7 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

TI Method and kit for making a multidimensional combinatorial chemical library

L7 ANSWER 10 OF 19 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. on STN

TI Phage display combinatorial libraries of short peptides: Ligand selection for protein purification.

L7 ANSWER 11 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

TI Method to identify bi-ligand drug candidates in the development of antibiotics using nuclear magnetic resonance.

L7 ANSWER 12 OF 19 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

TI Arrays of chelating molecules including amino acids, their preparation and use for removing ions from a solution.

L7 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

TI Statistical Theory of Combinatorial Libraries of Folding Proteins: Energetic Discrimination of a Target Structure

L7 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

TI Automated solid-phase synthesis of linear nitrogen-linked compounds

L7 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2

TI Directed combinatorial compound library for inhibitors of carbohydrate-processing enzymes and high-throughput assays for screening

L7 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

TI Method for preparing biomacromolecule-binding oligoligands and their use for affinity chromatography, biomacromolecule detection and therapy

L7 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

TI Improved preparation of oligomeric peptide nucleic acid (PNA) combinatorial libraries

L7 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

TI Preparation of oligomeric peptide nucleic acid (PNA) combinatorial libraries and improved methods of synthesis

L7 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

TI Encoded combinatorial chemical libraries

=> d his

(FILE 'HOME' ENTERED AT 17:32:09 ON 20 FEB 2005)

FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE, WPIDS' ENTERED AT 17:32:56 ON 20 FEB 2005

L1 1552191 AMINO (W) ACID
L2 13465 MONOMER AND L1
L3 0 COMBINATORIAL (W) LIBRARY AND L2
L4 23 COMBINATORIAL (W) LIBRARY AND L2
L5 22 PY>1996 AND L4
L6 1 L4 NOT L5
L7 19 DUP REM L5 (3 DUPLICATES REMOVED)

=> combinatorial (w) library and l1
L8 1529 COMBINATORIAL (W) LIBRARY AND L1

=> l8 not peptide
L9 758 L8 NOT PEPTIDE

=> d scan l9

L9 758 ANSWERS BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN
TI **Amino acid**-derived heterocycles as
combinatorial library targets: Spirocyclic ketal
lactones.
IT Methods & Equipment
solid-phase synthesis: laboratory techniques; solution-phase synthesis:
laboratory techniques
IT Miscellaneous Descriptors
combinatorial library; drug development

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L9 758 ANSWERS CAPLUS COPYRIGHT 2005 ACS on STN
CC 3-2 (Biochemical Genetics)
Section cross-reference(s): 7
TI Construction of **combinatorial library** of
starch-binding domain of Rhizopus oryzae glucoamylase and screening of
clones with enhanced activity by yeast display method
ST **combinatorial library** starch domain Rhizopus
glucoamylase yeast display
IT Mutagenesis
(combinatorial, of ROL lid domain; construction of
combinatorial library of starch-binding domain of
Rhizopus oryzae glucoamylase and screening of clones with enhanced
activity by yeast display method)
IT **Combinatorial library**
Rhizopus oryzae
(construction of **combinatorial library** of
starch-binding domain of Rhizopus oryzae glucoamylase and screening of
clones with enhanced activity by yeast display method)
IT Enzyme functional sites
(substrate-binding, starch-binding domain; construction of
combinatorial library of starch-binding domain of
Rhizopus oryzae glucoamylase and screening of clones with enhanced
activity by yeast display method)
IT Yeast
(yeast display; construction of **combinatorial library**
of starch-binding domain of Rhizopus oryzae glucoamylase and screening
of clones with enhanced activity by yeast display method)
IT 9032-08-0, Glucoamylase
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
(Biological study)

(construction of **combinatorial library** of
starch-binding domain of *Rhizopus oryzae* glucoamylase and screening of
clones with enhanced activity by yeast display method)

L9 758 ANSWERS CAPLUS COPYRIGHT 2005 ACS on STN
CC 34-0 (Amino Acids, Peptides, and Proteins)
TI The Building Block Approach to Unusual α - **Amino**
Acid Derivatives and Peptides
ST review dialkylated **amino acid** diene cyclization prepn
combinatorial library; diyne Diels Alder reaction prepn
alkylated **amino acid** review; ring closing metathesis
reaction prepn alkylated **amino acid** review
IT Alkynes
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(alkadiynes; preparation of unusual α - **amino acid**
derivs.)
IT **Combinatorial library**
Cyclization
Cycloaddition reaction
Diels-Alder reaction
(preparation of unusual α - **amino acid** derivs.)
IT Alkadienes
Amino acids, preparation
Cycloalkadienes
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of unusual α - **amino acid** derivs.)
IT Metathesis
(ring-closing; preparation of unusual α - **amino acid**
derivs.)
IT Amino acids, preparation
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(α , α -dialkylated; preparation of unusual α - **amino**
acid derivs.)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> d his

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FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE, WPIDS' ENTERED AT 17:32:56 ON 20
FEB 2005

L1 1552191 AMINO (W) ACID
L2 13465 MONOMER AND L1
L3 0 COMBINATORIAL (W) LIBRARY AND L2
L4 23 COMBINATORIAL (W) LIBRARY AND L2
L5 22 PY>1996 AND L4
L6 1 L4 NOT L5
L7 19 DUP REM L5 (3 DUPLICATES REMOVED)
L8 1529 COMBINATORIAL (W) LIBRARY AND L1
L9 758 L8 NOT PEPTIDE

=> 19 and alpha (w) amino

L10 28 L9 AND ALPHA (W) AMINO

=> 110 and py>1997

L11 25 L10 AND PY>1997

=> dup rem l11

PROCESSING COMPLETED FOR L11

L12 22 DUP REM L11 (3 DUPLICATES REMOVED)

=> t ti l12 1-22

- L12 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Liquid-Phase Combinatorial Synthesis of 1,4-Benzodiazepine-2,5-diones as the Candidates of Endothelin Receptor Antagonism
- L12 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Synthesis of an 8-benzyl-4-(p-substituted-benzyl)-1,4,8-triazaspiro[4.5]decan-2-one library on SynPhase TMLanterns
- L12 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Genes that are differentially expressed during erythropoiesis and their diagnostic and therapeutic uses
- L12 ANSWER 4 OF 22 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN
TI Dynamic combinatorial chemistry: A new method for selection and preparation of synthetic receptors.
- L12 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI The Building Block Approach to Unusual **.alpha.-Amino Acid** Derivatives and Peptides
- L12 ANSWER 6 OF 22 MEDLINE on STN DUPLICATE 1
TI **Amino acid**-derived heterocycles as **combinatorial library** targets: bicyclic aminated lactones.
- L12 ANSWER 7 OF 22 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Preparation of monocyclic N-acyl-aminolactam compounds useful as pharmaceutical agents involves reacting isocyanide, ketone or aldehyde, protected **amino acid** and protected alpha or beta-aminoaldehyde.
- L12 ANSWER 8 OF 22 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN
TI Combinatorial chemical library for biological assay comprises several hydroxyamides optionally encoded with tags.
- L12 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Polymer-Supported Glyoxylate and α -Imino Acetates. Versatile Reagents for the Synthesis of α -Hydroxycarboxylic Acid and **.alpha.-Amino Acid** Libraries
- L12 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Combinatorial synthesis of N-substituted **.alpha.-amino acids** on Sepharose
- L12 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Preparation of glycopeptide antibiotics containing a desmethylvancosamine residue and their combinatorial libraries
- L12 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Combinatorial approach to chiral reagents or catalysts having amine or amino alcohol ligands
- L12 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Preparation of glycopeptide antibiotics and their combinatorial libraries
- L12 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Novel applications of resin bound **.alpha.-amino acids**

for the synthesis of benzodiazepines (via Wang resin) and ketopiperazines (via hydroxymethyl resin)

- L12 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Synthesis and use of tetrahydrofuran- and tetrahydropyran-amino acids
- L12 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Synthesis of peptidomimetics using a polymer-bound Boc-linker
- L12 ANSWER 17 OF 22 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN
TI **beta-amino acid** facilitates macrocyclic ring closure in a **combinatorial library**.
- L12 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI On-bead combinatorial approach to the design of chiral stationary phases for HPLC
- L12 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Methods for the synthesis of α,β -substituted amino amides, esters and acids
- L12 ANSWER 20 OF 22 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation. on STN
TI Solution phase synthesis of a spiro(pyrrolidine-2,3'-oxindole) library via a three component 1,3-dipolar cycloaddition reaction.
- L12 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Development of asymmetric catalytic processes: From conception to commercialization
- L12 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
TI Comprehensive survey of chemical libraries yielding enzyme inhibitors, receptor agonists and antagonists, and other biologically active agents: 1992 through 1997

=> d ibib abs l12 9,10,14,19,22

L12 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:118484 CAPLUS
DOCUMENT NUMBER: 134:310722
TITLE: Polymer-Supported Glyoxylate and α -Imino Acetates. Versatile Reagents for the Synthesis of α -Hydroxycarboxylic Acid and . **alpha.-**
Amino Acid Libraries
AUTHOR(S): Kobayashi, Shu; Akiyama, Ryo; Kitagawa, Hidetoshi
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, The University of Tokyo CREST Japan Science and Technology Corporation (JST), Bunkyo-ku Tokyo, 113-0033, Japan
SOURCE: Journal of Combinatorial Chemistry (2001), 3(2), 196-204
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:310722
AB Polymer-supported glyoxylate monohydrate (I) and α -imino acetates (II) have been readily prepared from chloromethylated resin via two or three steps. The ene reactions of I with alkenes were successfully performed in the presence of Yb(OTf)₃ (50 mol %) to afford, after cleavage from the polymer support, the corresponding α -hydroxy carboxylic acid esters

in good yields. The reactions of II with silyl enolates, Danishefsky's diene, and alkenes also proceeded smoothly in the presence of Sc(OTf)₃ (20 mol %) to give the corresponding **.alpha.-amino acid**, pyridone, and tetrahydroquinoline derivs., resp., in good yields.

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:46827 CAPLUS

DOCUMENT NUMBER: 137:20555

TITLE: Combinatorial synthesis of N-substituted **.alpha.-amino** acids on Sepharose

AUTHOR(S): Rajur, Sharanabasava; Johnson, Alan; Varady, Laszlo

CORPORATE SOURCE: ArQule, Inc., Woburn, MA, 01801, USA

SOURCE: Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemistry Diversity, Collected Papers, International Symposium, 6th, York, United Kingdom, Aug. 31-Sept. 4, 1999 (2001), Meeting Date 1999, 105-108. Editor(s): Epton, Roger. Mayflower Scientific Ltd.: Kingswinford, UK.

CODEN: 69CEGV; ISBN: 0-9515735-3-5

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A symposium report. An N-substituted **.alpha.-amino**

acid library containing 11520 compds. was prepared as potential small mol. ligands for affinity chromatog. The library was obtained by reacting various boronic acids with primary amines and glyoxalic acid on highly cross-linked Sepharose 4 fast flow by mix and split approach. Acid labile Rink linker was used to optimize the chemical and select the building blocks. Using 100% TFA, the products were cleaved from the matrix and analyzed by high performance liquid chromatog./mass spectrometry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:177105 CAPLUS

DOCUMENT NUMBER: 132:347546

TITLE: Novel applications of resin bound **.alpha.-amino** acids for the synthesis of benzodiazepines (via Wang resin) and ketopiperazines (via hydroxymethyl resin)

AUTHOR(S): Hulme, Christopher; Ma, Liang; Kumar, N. Vasant; Krolikowski, Paul H.; Allen, Andrew C.; Labaudiniere, Richard

CORPORATE SOURCE: New Leads Discovery, New Leads Discovery, Rhone-Poulenc Rorer Central Research, Collegeville, PA, 19426, USA

SOURCE: Tetrahedron Letters (2000), 41(10), 1509-1514

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:347546

AB This communication reveals a novel application of resin bound **.alpha.-amino** acids coupled with the UDC (Ugi/DeBOC/cyclize) strategy. Reaction with either N-BOC-**.alpha.-amino** aldehydes or N-BOC anthranilic acids and subsequent acid treatment allows the preparation of highly pure and diverse arrays (approx. 10

000 in size) of 1,4-benzodiazepines (Wang resin) and ketopiperazines (hydroxymethyl resin), resp. Notable for the benzodiazepine series of compds. are the five potential points of diversity available from this two-step protocol.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:661559 CAPLUS

DOCUMENT NUMBER: 129:276341

TITLE: Methods for the synthesis of α,β -substituted amino amides, esters and acids

INVENTOR(S): Sharpless, K. Barry; Rubin, A. Erik

PATENT ASSIGNEE(S): Scripps Research Institute, USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

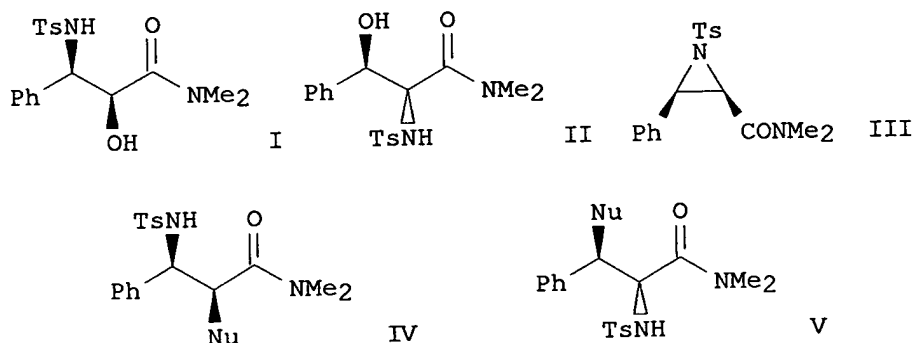
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9842657	A1	19981001	WO 1998-US5654	19980320 <--
W:			AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
RW:			GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
AU 9868674	A1	19981020	AU 1998-68674	19980320 <--
US 6573387	B1	20030603	US 2000-381407	20000131 <--
PRIORITY APPLN. INFO.:			US 1997-41029P	P 19970321
			US 1997-43790P	P 19970411
			WO 1998-US5654	W 19980320

OTHER SOURCE(S): CASREACT 129:276341
GI



AB α,β -Unsatd. amides and esters are converted to α,β -substituted amino amides, esters, and acids. An α,β -unsatd. amide or ester is first converted to an α,β -hydroxysulfonamide or hydroxycarbamate amide or ester using an osmium-catalyzed aminohydroxylation. The α,β -hydroxysulfonamide or hydroxycarbamate amides or esters is then

cyclodehydrated to produce a α,β -N-sulfonyl- or the α,β -N-carbamoylaziridine amide or ester. The ring of aziridine intermediate is then nucleophilically opened in a regioselective manner with a variety of nucleophiles to give the α,β -substituted **amino acid** amides or esters. Preferred nucleophiles include sulfur, oxygen, carbon, and nitrogen nucleophiles. Thus, aminohydroxylation of PhCH:CHCONMe₂ with chloramine T in the presence of catalytic K₂OsO₂(OH)₄ in 1:1 MeCN-water or tert-butanol-water gave a 7.3:1 ratio of 2-hydroxy-3-tosylamino and 3-hydroxy-2-tosylamino amides (I) and (II), from which 2-hydroxy derivative I could be isolated in 82% yield. Two-step cyclodehydration of a mixture of I and II with MeSO₂Cl/Et₃N in CH₂Cl₂, followed by treatment with Et₃N or DBU gave aziridine (III) in 95% yield. Ring opening of III with a variety of sulfur, oxygen, and nitrogen nucleophiles gave β -amino and **.alpha.-amino** amides (IV) and (V). (Nu = nucleophile).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:22 CAPLUS

DOCUMENT NUMBER: 130:162662

TITLE: Comprehensive survey of chemical libraries yielding enzyme inhibitors, receptor agonists and antagonists, and other biologically active agents: 1992 through 1997

AUTHOR(S): Dolle, Roland E.

CORPORATE SOURCE: Department of Chemistry, Pharmacopeia, Inc., Princeton, NJ, 08540, USA

SOURCE: Molecular Diversity (1998), Volume Date 1997-1998, 3(4), 199-233
CODEN: MODIF4; ISSN: 1381-1991

PUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 81 refs. This review is a historical accounting of chemical libraries from which biol. active agents have been obtained. The comprehensive tabulation includes citations as early as 1992, when the first descriptions of biol. active libraries were disclosed, and continues through 1997. Four tables are provided listing libraries screened against (1) proteolytic enzymes, (2) non-proteolytic enzymes, (3) G-protein coupled receptors (GPCRs), and (4) other targets not classified in the first three tables (e.g., non-GPCRs, integrins, anti-infectives). A name, generic structure, and size is provided for each library citation, accompanied by the mol. screen and the structure and potency of the most active library member. In total, 86 libraries are presented with 60% of the contributions reported from pharmaceutical and biotechnol. companies. Approx. 70% of the libraries have used **.alpha.-amino acid** synthons in their construction and 85% of the libraries include one or more amide bonds.

REFERENCE COUNT: 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
102.58	102.79

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-4.38	-4.38

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